m-CPBA-Mediated Intramolecular Aminohydroxylation of *N*-Sulfonyl Aminoalkenes to Synthesize β-Hydroxyl Cyclic Amines

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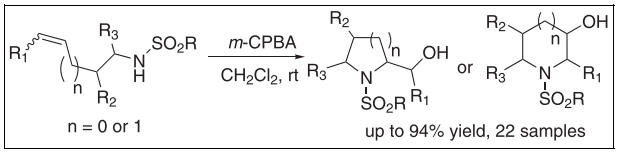

A variety of structurally diverse *N*-sulfonyl-protected aminoalkenes readily reacted with *m*-CPBA to produce a series of β-hydroxyl cyclic amines in high yields through intramolecular aminohydroxylation. This metal-free and easy-to-handle synthetic methodology offered an environmentally friendly alternative to vicinal difunctionalization of alkenes.

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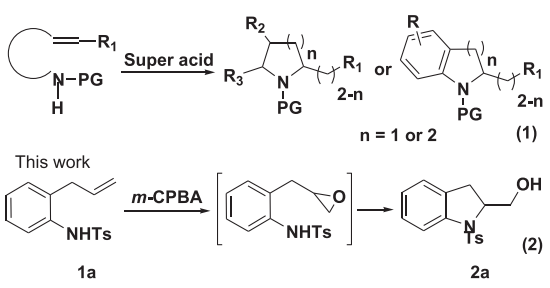
INTRODUCTION

The β-hydroxyl cyclic amine motif is found in a range of bioactive naturally occurring molecules [1–6] and several synthetic strategies to access this important structural unit have been developed so far [7–15]. The employment of either toxic or expensive metal salts and the high sensitivity of the requested complexes to air and moisture have limited the metal-catalyzed intramolecular aminohydroxylation to build up β-hydroxyl cyclic amine moieties [16–19]. It was a multi-step procedure to transfer alkenes to β-hydroxyl cyclic amines through epoxidation reaction, followed by epoxide ring opening by the attack of the nitrogen atom in the presence of bases [20–23]. Iodine(III)/TFA system was used to access β-hydroxyl five-eight membered cyclic amines from aminoalkenes and the addition of excess trifluoroacetic acid significantly accelerated this process and improved its efficiency [24,25]. Tellitu's group applied the PIFA complex in the direct intramolecular aminohydroxylation of *N*-protected terminal olefins to form β-hydroxyl cyclic amine scaffold [26–29]. In Togo's method Oxone was added as oxidant source and $TsOH \cdot H_2O$ as additive, and the addition of 10 mol% $TsOH \cdot H_2O$ activated the cyclization and at the same time increased the yield [30]. Several groups reported *m*-CPBA mediated conversion of *N*-protected pent-4-en-1-amines to pyrrolidin-2-ylmethanol derivatives through 5-*exo* cyclization route, which is a metal-free and one-step procedure, but the detailed information about this transformation including scope and limitations were not well investigated [31–33]. Only moderate yields and regioselectivities were achieved while carbamates underwent *m*-CPBA-mediated intramolecular alkene aminohydroxylation according to Petter's report [31]. In Mouline's publication, few examples succeed the *m*-CPBA-mediated intramolecular aminohydroxylation to cyclize pent-4-en-1-amines to prrolidin-2-ylmethanols, substrates with substituted groups at double bonds did not finish the cyclization in one step, and no cyclization routes other than 5-*exo* were discovered [32].

Previously, we reported superacid-catalyzed intramolecular hydroamination of *N*-sulfonyl aminoalkenes to afford nitrogen-containing heterocycles (Scheme 1, eq 1) [34–36]. During the continuous scientific research to synthesize *N*-containing heterocycles through vicinal functionalization of alkenes, Ts-protected 2-allylic-aniline **1a** was successfully transformed to 2-hydroxymethyl-indoline **2a** at room temperature with *m*-CPBA as oxidant source and CH_2Cl_2 as solvent (Scheme 1, eq 2). In this transformation, no trace epoxytosylamide was separated after the reaction mixture was purified with Et_3N pre-treated silica gel although a plausible epoxidized intermediate was observed through TLC plate analysis. Actually, the conversion of **1a** to **2a** was *m*-CPBA-mediated intramolecular aminohydroxylation through 5-*exo* cyclization route. Comparing current work with previous reports [31–33], current work expanded reaction substrates from *N*-sulfonyl aliphatic amines to anilines.

Scheme 1. Functionalization of unsaturated sulfonamides.



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Furthermore, substrates that highly selectively underwent intramolecular aminohydroxylation to form β-hydroxyl cyclic amines through *endo* cyclization routes were found. Herein, we report the successful development of this reaction as an alternative to preparation of β-hydroxyl pyrrolidines, piperidines, indolines, and tetrahedroquinolines from amino alkenes.

RESULTS AND DISCUSSION

For better investigation of this tethered aminohydroxylation reaction, we first screened the reaction conditions using **1a** as the substrate of the template reaction including oxidant, solvent, temperature, and oxidant loading (Table 1). The survey of different reaction conditions revealed that the transformation of **1a** was best performed at room temperature for 24 h with 1.2 equivalent *m*-CPBA as oxidant source and CH_2Cl_2 as solvent (entry 1). Markedly low to zero yields were observed when H_2O_2, TBHP, $NaClO_2$, or Oxone were utilized as the oxidants (entries 2–5). Noncoordination solvents such as toluene, benzene, and chloroform were proved to be suitable solvents for the reaction, but the yields were a little bit lower compared with CH_2Cl_2 as solvent (entries 6–8). Reaction in coordination solvents such as CH_3CN, H_2O, Et_2O, or THF exhibited very low yields (entries 9–12). Heating or cooling the reaction did not benefit the yields (entries 13–15). Increasing the oxidant loading had no help to improve the reaction yields, but had obvious influence on the transformation rates (entries 16–18). Although reaction yields were similar while 1.5 or 1.8 equivalents of *m*-CPBA were added, the higher oxidant loading would shorten the transformation time (22 h for 1.5 equiv. vs 20 h for 1.8 equiv. entries 16 and 17). Lowering oxidant loading to 1 equivalent led to 58% separated yield after 24 h and unconsumed starting material **1a** was recovered (entry 18).

Analogs of **1a** with different protective groups on the nitrogen atom were easily prepared through coupling reaction of 2-allylic-aniline with active chlorides in the presence of dry pyridine, and submitted for the intramolecular aminohydroxylation transformation to study the effects of protective groups. The results were summarized in Table 2. All sulfonamides underwent smoothly the tethered aminohydroxylation reaction to give *N*-sulfonyl-protected 2-hydroxylmethyl-indolines in high yields (entries 1 and 2). However, the reaction of substrates containing acyl groups (**1c** and **1d**) only gave trace amount of expected 2-hydroxylmethyl-indolines according to ^{1}H-NMR spectrum analysis even after 168 h, and only epoxides were separated from the reaction mixtures with yields of 99% and 92%, respectively (entries 3–4). While free amine **1e** was used as the reaction substrate, neither epoxides nor β-hydroxyl cyclic amines were detected (entry 5). So sulfonyl groups on the nitrogen atom were the key for the successful conversions of these sulfonamides to 2-hydroxylmethyl-indolines.

To gain insight on the electronic effect of the middle phenyl ring on this intramolecular aminohydroxylation transformation, a series of *N*-tosyl-2-allylic-anilines **1** bearing substituted groups *para* to nitrogen atom, including CH_3O, CH_3, H, F, Cl, Br, and NO_2, were readily synthesized through a short sequence [34–37]. The synthetic

Table 1

Survey the reaction conditions.[a]

Entry	Oxidant	Oxidant loading, mole%	Solvent	Temp. (°C)	Time (h)	Yield (%)[b]
1	*m*-CPBA	120	CH_2Cl_2	RT	24	94
2	H_2O_2	120	CH_2Cl_2	RT	48	0[c]
3	TBHP	120	CH_2Cl_2	RT	48	Trace[c]
4	$NaClO_2$	120	CH_2Cl_2	RT	48	0[c]
5	Oxone	120	CH_2Cl_2	RT	48	0[c]
6	*m*-CPBA	120	toluene	RT	32	90
7	*m*-CPBA	120	benzene	RT	36	89
8	*m*-CPBA	120	$CHCl_3$	RT	28	92
9	*m*-CPBA	120	H_2O	RT	24	trace
10	*m*-CPBA	120	CH_3CN	RT	24	12[c]
11	*m*-CPBA	120	Et_2O	RT	24	Trace
12	*m*-CPBA	120	THF	RT	24	5[c]
13	*m*-CPBA	120	CH_2Cl_2	−20	24	31[c]
14	*m*-CPBA	120	CH_2Cl_2	0	24	69[c]
15	*m*-CPBA	120	CH_2Cl_2	reflux	20	91
16	*m*-CPBA	150	CH_2Cl_2	RT	22	92
17	*m*-CPBA	180	CH_2Cl_2	RT	20	94
18	*m*-CPBA	100	CH_2Cl_2	RT	24	58[c]

[a]Reaction conditions: amine (0.5 mmol), *m*-CPBA (0.6 mmol), CH_2Cl_2 (10 mL), RT.
[b]Isolated yield after chromatograph.
[c]The unconsumed starting material **1a** was recovered.

Table 2

Effect of the protected groups.[a]

Entry	PG	Substrate	Time (h)	Product	Yield (%)[b]
1	Ts	**1a**	24	**2a**	94
2	*p*-Ns	**1b**	48	**2b**	89
3	PhCO	**1c**	168	**3c**	99
4	Cbz	**1d**	168	**3d**	92
5	H	**1e**	168	NR	NR

[a]Reaction conditions: amine (0.5 mmol), *m*-CPBA (0.6 mmol), CH_2Cl_2 (10 mL), RT.
[b]Isolated yield after chromatograph.

Table 3

Electronic effects of middle phenyl ring.[a]

Entry	R	Substrate	Time (h)	Yield (%)[b]
1	CH_3O	**1f**	18	90
2	CH_3	**1g**	20	84
3	H	**1a**	24	94
4	F	**1h**	36	84
5	Cl	**1i**	36	87
6	Br	**1j**	36	82
7	NO_2	**1k**	48	85

[a]Reaction conditions: amine (0.5 mmol), *m*-CPBA (0.6 mmol), CH_2Cl_2 (10 mL), RT.
[b]Isolated yield after chromatograph.

route was outlined in Scheme 2. An S_N2 reaction of benzyl amines with allylic bromide gave *N*-allylanilines **4**. In the presence of **1** equivalent of BF_3Et_2O, **4** was transferred to *o*-allylic anilines **5** through aza-Claisen rearrangement.[9] Pyridine-promoted sulfonylation reactions underwent smoothly by treating **5** with TsCl to produce targeted molecules **1** in high yields.

Treated with the aforementioned optimized reaction conditions, all *para* substituted *N*-tosyl-2-allylanilines **1** were transformed to 2-hydroxylmethyl-indolines in high yields through a 5-*exo* cyclization route, favored by Baldwin's rules [38,39]. Cyclization results were outlined in Table 3. Electron density in the middle phenyl ring had little effects on the yields of the reaction, but substrates with electron-withdrawing groups required longer reaction time to finish the cyclization than substrates with electron-donating groups (entries 1–7). It took the longest reaction time to finish the transformation of the very electron deficient alkene **1k** (entry 7). We speculated this is because the electron-withdrawing substitution groups lowered the nucleophilicity of nitrogen atom, so as to decrease the rate of epoxide ring opening by the attack of nitrogen atom.

After success to synthesize 2-hydroxylmethyl-indolines from 2-allylanilines in which the distance between unactive carbon–carbon double bond and nitrogen atom was four bonds, we further anticipated to obtain β-hydroxyl 3-membered, 4-membered, and 6-membered cyclic amines from Ts-protective amino alkenes to find out the effects of substitution groups at unsaturated carbon–carbon double bond in this transformation. Dozens of *N*-alkyltosylamides and *N*-aryltosylamides (**1l–1v**) with different distances (2, 3, 4, and 5 bonds) between C═C and N atom were quickly prepared [40] and underwent the intramolecular aminohydroxylation reaction. Most of them successfully afforded β-hydroxyl cyclic amines as expected. At the same time, the electronic and steric effects of the substitution groups at the C═C bond exhibited remarkable influences on the cyclization from the point of cyclization route, reaction rate, regioselectivity, and stereoselectivity. The results were shown in Table 4.

Treatment of allylic tosylamide **1l** and homoallylic tosylamides (**1m** and **1n**) with 1.2 equiv. *m*-CPBA in DCM afforded epoxidized products in excellent yields after 12 h, and no trace amount of β-hydroxyl 3-membered, or 4-membered cyclic amines were detected even with prolonged reaction time (entries 1–3) probably due to their rigidity. Although the distances between double bond and N atom were the same as **1m** and **1n** (three bonds), Π-Electron-rich polysubstituted β-amino alkenes **1o** and **1p** underwent the 5-*endo* cyclization route to give β-hydroxyl pyrrolidines with yields of 91% and 92% after 24 and 48 h, respectively (entries 4 and 5). After 24 h, substrates such as terminal γ-amino olefins (**1q** and **1r**) with one bond longer than **1o** and **1p** underwent the same reaction smoothly through 5-*exo* cyclization route to give pyrrolidine derivatives (**2o–2r**) in high yields (89% and 88%, respectively, entries 6 and 7). However, internal γ-amino olefins (**1s** and **1t**) with phenyl group at the end of double bond were exclusively transferred to 6-membered heterocycles (**2s** and **2t**) through 6-*endo* cyclization route and no 5-*exo* cyclization products were observed even after 72 and 120 h, respectively (entries 8 and 9). Terminal δ-amino olefins (**1u** and **1v**) were cyclized to piperidin-2-ylmethanols through 6-*exo* cyclization route within 3 days (entries 10 and 11), and no pyrrolidine analogs were observed. Alkyl-substituted acyclic alkenes underwent the intramolecular aminohydroxylation. 1-[1-(Toluene-4-sulfonyl)-pyrrolidin-2-yl]- ethanol was separated in 86% yields while *N*-Hex-4-enyl-4-methyl-benzenesulfonamide was treated with 120 mol% *m*-CPBA.

Scheme 2. Synthetic route of **1**.

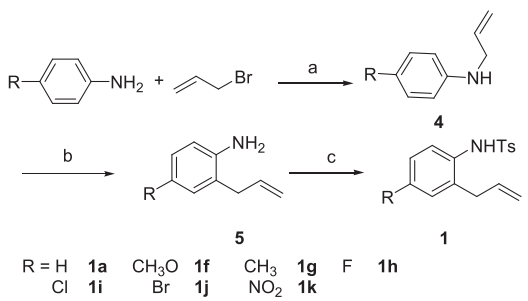
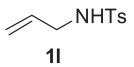
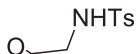
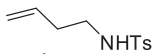
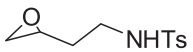
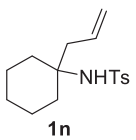
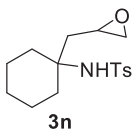
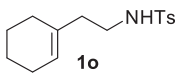
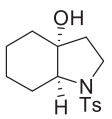
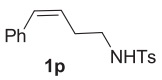
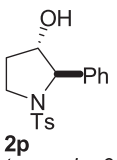
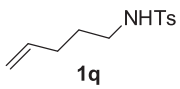
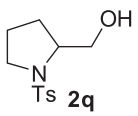
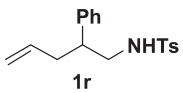
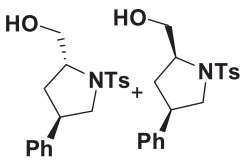
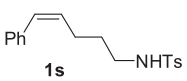
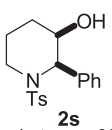
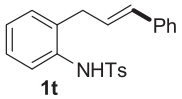
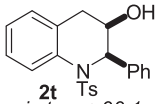


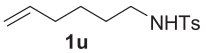
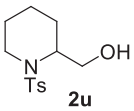
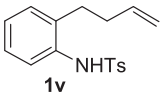
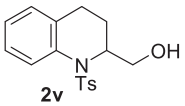
Table 4

Intramolecular aminohydroxylation of Ts-protected amino alkenes.[a]

Entry	Substrate	Product	Cyclization route	Time (h)	Yield (%)[b]
1	 **1l**	 **3l**	NR	12	96
2	 **1m**	 **3m**	NR	12	97
3	 **1n**	 **3n**	NR	12	94
4	 **1o**	 **2o** *cis:trans>99:1*	5-*endo*	24	91
5	 **1p**	 **2p** *trans:cis>99:1*	5-*endo*	48	92
6	 **1q**	 **2q**	5-*exo*	24	89
7	 **1r**	 **2r** **2rr** **d.r.: 3:2 (*trans*:*cis*)**	5-*exo*	24	88
8	 **1s**	 **2s** *cis:trans>99:1*	6-*endo*	72	91
9	 **1t**	 **2t** *cis:trans>99:1*	6-*endo*	120	78

(*Continued*)

Table 4
(Continued)

Entry	Substrate	Product	Cyclization route	Time (h)	Yield (%)[b]
10	 **1u**	 **2u**	6-*exo*	72	88
11	 **1v**	 **2v**	6-*exo*	72	90

[a]Reaction conditions: amine (0.5 mmol), *m*-CPBA (0.6 mmol), CH_2Cl_2 (10 mL), RT.
[b]Isolated yield after chromatography.

The nucleophilic addition of sulfonyl-protected nitrogen atom to unsaturated double bond was highly regioselective. The electron richer carbon would be exclusively attached by nitrogen atom through either 5-*endo* or 6-*endo* cyclization routes (entries 4, 5, 8, and 9), and the 5-*exo* and 5-*endo* cyclization routes were faster than 6-*exo* and 6-*endo* cyclization routes (entry 5 vs entry 8 and entry 6 vs entry 10). At the same time, the cyclization rate would be drastically decreased, while nitrogen atom nucleophilic attack at the phenyl-substituted amino alkenes because of the steric effect (entry 5 vs entry 4 and entry 8 vs entry 6). And it took 5 days to finish the cyclization of very bulky substrate **1t** (entry 9).

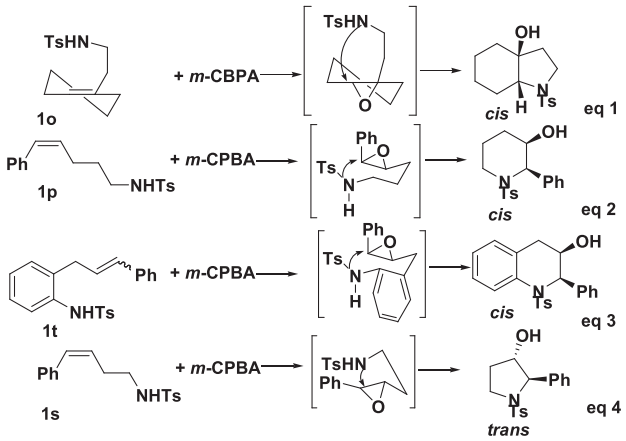
The stereoisomerism of the intramolecular aminohydroxylation was further studied through 2D-NMR spectroscopy analysis (Table 4, entries 4, 5 and 7–9). All internal alkenes (**1o**, **1p**, **1s**, and **1t**) were stereoselectively cyclized to a single isomer (*cis*:*trans* > 99:1 for **1o**, **1s**, and **1t**, and *trans*:*cis* > 99:1 for **1p**). And the plausible transition state structures were shown in Scheme 3. Polysubstituted terminal alkene (**1r**) was cyclized to a *trans*/*cis* mixture and the thermodynamically stable *trans* isomer was the major product (*trans*:*cis* = 3:2, entry 7).

After the conversation of terminal α-amino and β-amino alkenes to β-hydroxyl aziridines and azetidines under the optimized conditions failed (Table 4, entries 1–3), we tried a two-step procedure to synthesize the targeted products [21]. Refluxing the mixture of epoxides in 5% NaOH for 5 min, both **3l** and **3n** were completely transformed to β-hydroxyl cyclic amines in high yields (Scheme 4).

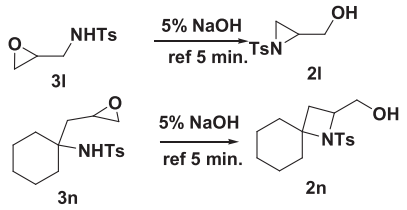
Although the epoxide intermediate was too labile to be obtained while **1a** was treated with *m*-CPBA (Scheme 1, eq 2), epoxide intermediates such as **3p**, **3u**, and **3v** were successfully separated and characterized before substrates **1p**, **1u**, and **1v** were completely converted to β-hydroxyl cyclic amines (Scheme 5). Furthermore, **3p**, **3u**, and **3v** were stable in $CDCl_3$ for more than 3 months without any chemical changes.

For epoxide, intermediates were separated during the intramolecular aminohydroxylation and BrØnsted acid additives such as $TsOH \cdot H_2O$ would catalyze the intramolecular amination of epoxides according to Moriyama's report [6]. In the present transformation, *m*-CPBA probably acted in a dual role by acting as an oxidant source to epoxidize the unsaturated double bond and at the same time by acting as the BrØnsted acid additive to catalyze the epoxide

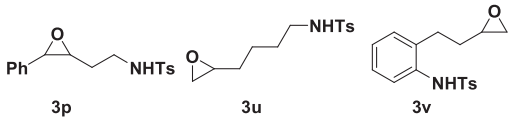
Scheme 3. Plausible transition state structures.



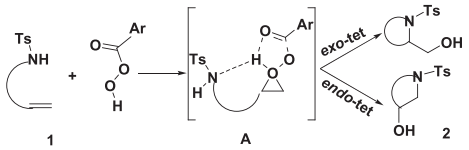
Scheme 4. Base-promoted epoxide ring opening.



Scheme 5. Stable epoxytosylamides.



Scheme 6. Proposed mechanism.



ring opening attached by Ts-protected nitrogen atom. A plausible mechanism of the tandem epoxidation/intramolecular amination of epoxides reaction was described as shown in Scheme 6: epoxidation of amino alkenes **1** with *m*-CPBA produced complex A with hydrogen bond interactions, then complex A was converted to the β-hydroxyl cyclic amines **2** through either *exo*-tet or *endo*-tet cyclization routes.

CONCLUSIONS

We developed a metal-free and easy-to-handle intramolecular aminohydroxylation to build up β-hydroxyl 5-membered and 6-membered cyclic amines. Our studies indicated the possibility for high levels of reaction of regio- and stereocontrol, making it a potentially attractive method in organic synthesis. Future work will be directed at mechanism investigation to explore the potential for asymmetric induction.

EXPERIMENTAL

^{1}H-NMR and ^{13}C-NMR spectra were recorded on a Bruker DPX 300 MHz spectrometer (Billerica, MA; 300 and 75 MHz, respectively) with TMS as an internal standard. Coupling constants are reported in hertz (Hz). All ^{13}C-NMR spectra were proton decoupled. Mass spectral analyzed was measured on a HP-5989. HRMS (EI) was measured on a Fimigan MA$^+$ (Thermo Fisher Scientific, Waltham, MA). IR was measured on Perkin-Elmer 983 (Waltham, MA). The melting points were measure on a Melting point SGW X-4 (Shanghai Jingke, Shanghai, China). All the products were purified by column chromatography on silica gel with ethyl acetate-hexane in an appropriate ratio as the eluent. Spectroscopic data and HRMS analyses are reported for all new compounds.

Preparation of 2a–2d, 2f–2k, 2o–2v, 3c, 3d, and 3l–3n. To a mixture of aminoalkenes (**1a–1v**, 0.5 mmol) in CH_2Cl_2 (10 mL), *m*-CPBA (0.6 mmol) was added slowly, and the resulting mixture was stirred at room temperature until the started material completely disappeared monitored by TLC. Then saturated $Na_2S_2O_3$ (1 mL) and $NaHCO_3$ (1 mL) were added to quench the reaction, respectively. The reaction mixture was extracted with CH_2Cl_2 (3 × 5 mL), and the combined organic phases were washed with brine, dried over anhydrous Na_2SO_4 and concentrated under reduced pressure to give a residue. Finally, the crude product was purified through column chromatography on silica gel (200–300 mesh) with hexane/EtOAc as eluent to afford the targeted products **2a–2d**, **2f–2k**, **2o–2v**, **3c**, **3d**, and **3l–3n** in corresponding yields.

[1-(Toluene-4-sulfonyl)-2, 3-dihydro-indol-2-yl]-methanol (2a). Yield: 109.1 mg (94%); white solid; mp 92–93°C; IR (KBr, cm^{-1}) 3536, 3067, 2923, 2867, 1597, 1479, 1461, 1351, 1167, 1091, 1041, 761, 669, 579. ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.72–7.52 (m, 3H), 7.23–7.04 (m, 5H), 4.33–4.25 (m, 1H), 3.75–3.71 (m, 2H), 2.85–2.76 (dd, *J* = 9.3, 16.5 Hz, 1H), 2.66–2.59 (dd, *J* = 3.3, 16.5 Hz, 1H), 2.36 (s, 3H).

[1-(4-Nitro-benzenesulfonyl)-2, 3-dihydro-indol-2-yl]-methanol (2b). Yield: 148.8 mg (89%); white solid; mp 148–149°C; IR (KBr, cm^{-1}) 3574, 3105, 2926, 2871, 1530, 1479, 1351, 1171, 1089, 855, 735, 567. ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 8.24–8.21 (m, 2H), 7.86–7.67 (m, 3H), 7.28–7.07 (m, 3H), 4.358–4.30 (m, 1H), 3.76 (d, *J* = 5.7 Hz, 2H), 2.86-2.68 (m, 3H); MS (EI) (*m/z*) 334, 303, 186, 137, 117, 91, 77, 44; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 150.5, 143.1, 140.4, 131.9, 128.4, 128.1, 125.8, 125.6, 124.2, 117.2, 65.3, 63.8, 31.2; HRMS Calcd for $C_{15}H_{14}N_2O_5S$: 357.0516 [M + Na$^+$], Found: 357.0528; Anal. Calcd for $C_{15}H_{14}N_2O_5S$: C, 53.88; H, 4.22; N, 8.38. Found: C, 53.66; H, 4.37; N, 8.50.

[5-Methoxy-1-(toluene-4-sulfonyl)-2, 3-dihydro-indol-2-yl]-methanol (2f). Yield: 150.0 mg (90%); colorless oil; IR (KBr, cm^{-1}) 3531, 2923, 2852, 1723, 1597, 1487, 1349, 1165, 1090, 1032, 814, 670, 547; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.61–7.58 (m, 1H), 7.49 (d, *J* = 8.4 Hz, 2H), 7.17 (d, *J* = 8.4 Hz, 2H), 6.79–6.75 (m, 1H), 6.59–6.58 (m, 1H), 4.32–4.24 (m, 1H), 3.76 (s, 3H), 3.68 (d, *J* = 6 Hz, 2H), 2.73–2.64 (m, 1H), 2.55–2.42 (m, 1H), 2.36 (s, 3H); MS (EI) (*m/z*) 333, 302, 178, 156, 155, 149, 148, 139, 91, 65, 41; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 157.7, 144.1, 134.6, 134.3, 133.9, 129.6, 127.2, 118.8, 113.1, 110.8, 65.4, 63.9, 55.6, 31.4, 21.5; HRMS Calcd for $C_{17}H_{19}NO_4S$: 356.0927 [M + Na$^+$], Found: 356.0938; Anal. Calcd for $C_{17}H_{19}NO_4S$: C, 61.24; H, 5.74; N, 4.20. Found: C, 61.46; H, 5.92; N, 3.98.

[5-Methyl-1-(toluene-4-sulfonyl)-2, 3-dihydro-indol-2-yl]-methanol (2g). Yield: 133.3 mg (84%); colorless oil; IR (KBr, cm^{-1}) 3542, 2921, 2864, 2253, 1598, 1488, 1349, 1167, 1091, 908, 814, 736, 672; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.51–7.48 (m, 3H), 7.14–7.12 (m, 2H), 6.99–6.82 (m, 2H), 4.27–3.66 (m, 3H), 2.91–2.55 (m, 3H), 2.30 (s, 3H), 2.23 (s, 3H); MS (EI) (*m/z*) 317, 286, 155, 132, 117, 91, 77, 65; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 144.1, 138.9, 134.8, 134.4, 132.2, 129.6, 128.4, 127.2, 125.8, 117.3, 65.4, 63.7, 31.2, 21.5, 21.0; HRMS Calcd for $C_{17}H_{19}NO_3S$: 340.0978 [M + Na$^+$], Found: 340.0989; Anal. Calcd for $C_{17}H_{19}NO_3S$: C, 64.33; H, 6.03; N, 4.41. Found: C, 64.47; H, 5.92; N, 4.28.

[5-Fluoro-1-(toluene-4-sulfonyl)-2, 3-dihydro-indol-2-yl]-methanol (2h). Yield: 135.0 mg (84%); colorless oil; IR (KBr, cm^{-1}) 3527, 2923, 2853, 1598, 1482, 1352, 1165, 1090, 815, 670; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.66–7.62 (m, 1H), 7.51 (d, *J* = 5.1 Hz, 2H), 7.20 (d, *J* = 5.1 Hz, 2H), 6.96–6.89 (m, 1H), 6.77–6.74 (m, 1H), 4.35–4.27 (m, 1H), 4.31 (d, *J* = 5.7 Hz, 2H), 2.79–2.58 (m, 3H), 2.37 (s, 3H); MS (EI) (*m/z*) 321, 290, 166, 155, 136, 109, 91, 65; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 162.2, 158.9, 144.4, 137.4, 134.0, 129.8, 127.2, 118.9, 114.6, 112.3, 65.4, 64.0, 31.3, 21.6; HRMS Calcd for $C_{16}H_{16}FNO_3S$: 344.0727 [M + Na$^+$], Found: 344.0740; Anal. Calcd for $C_{16}H_{16}FNO_3S$: C, 59.80; H, 5.02; N, 4.36. Found: C, 60.09; H, 5.00; N, 4.17.

[5-Chloro-1-(toluene-4-sulfonyl)-2, 3-dihydr-indol-2-yl]-methanol (2i). Yield: 146.0 mg (87%); colorless oil; IR (KBr, cm^{-1}) 3544, 2962, 1597, 1472, 1353, 1165, 1090, 1041, 814, 668, 591; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.56–7.45 (m, 3H), 7.15–6.95 (m, 4H), 4.25–4.21 (m, 1H), 3.67–3.66 (m, 2H), 2.76–2.56 (m, 3H), 2.30 (s, 3H); MS (EI) (*m/z*) 337, 308, 306, 155, 139, 117, 91, 65; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 144.5, 140.2, 134.2, 133.9, 130.3, 129.8, 127.9, 127.1, 125.3, 118.4, 65.5, 63.8, 31.1, 21.5; HRMS Calcd for $C_{16}H_{16}ClNO_3S$: 360.0432 [M + Na$^+$], Found: 360.0442; Anal. Calcd for

$C_{16}H_{16}ClNO_3S$: C, 56.89; H, 4.77; N, 4.15. Found: C, 56.61; H, 5.00; N, 4.17.

[5-Bromo-1-(toluene-4-sulfonyl)-2, 3-dihydro-indol-2-yl]-methanol (2j). Yield: 156.7 mg (82%); colorless oil; IR (KBr, cm^{-1}) 3528, 2922, 2875, 1597, 1471, 1352, 1165, 1091, 815, 733, 666; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.56–7.51 (m, 3H), 7.33–7.16 (m, 4H), 4.32–4.24 (m, 1H), 3.72 (d, *J* = 6.3 Hz, 2H), 2.84–2.63 (m, 3H), 2.34 (s, 3H); MS (EI) (*m/z*) 383, 381, 352, 350, 155, 147, 117, 91, 65, 43; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 144.5, 140.8, 134.3, 134.2, 130.8, 129.8, 128.2, 127.1, 118.7, 117.8, 65.4, 63.7, 31.1, 21.6; HRMS Calcd for $C_{16}H_{16}BrNO_3S$: 403.9926 [M + Na^+], Found: 403.9939; Anal. Calcd for $C_{16}H_{16}BrNO_3S$: C, 50.27; H, 4.22; N, 3.66. Found: C, 50.06; H, 4.49; N, 3.75.

[5-Nitro-1-(toluene-4-sulfonyl)-2, 3-dihydro-indol-2-yl]-methanol (2k). Yield: 148.0 mg (85%); colorless oil; IR (KBr, cm^{-1}) 3538, 2924, 2255,1724, 1598, 1525, 1364, 1171, 1092, 906, 814; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 8.01–7.99 (m, 1H), 7.83–7.52 (m, 4H), 7.17–7.14 (m, 2H), 4.38–4.34 (m, 1H), 3.80–3.74 (m, 2H), 3.00–2.91 (m, 3H), 2.28 (s, 3H); MS (EI) (*m/z*) 348, 317, 155, 139, 91, 65; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 147.5, 145.2, 144.6, 134.3, 133.1, 130.1, 127.0, 124.4, 120.9, 115.5, 65.4, 64.4, 30.8, 21.5; HRMS Calcd for $C_{16}H_{16}N_2O_5S$: 349.0853 [M + H^+], Found: 349.0863; Anal. Calcd for $C_{16}H_{16}N_2O_5S$: C, 55.16; H, 4.63; N, 8.04. Found: C, 55.06; H, 4.49; N, 8.30.

cis-1-(Toluene-4-sulfonyl)-octahydro-indol-3a-ol (2o). Yield: 134.4 mg (91%); colorless oil; IR (KBr, cm^{-1}) 3510, 2938, 2862, 2254, 1698, 1598, 1453, 1344, 1156, 1097, 913, 814, 667; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.66 (d, *J* = 8.1 Hz, 2H), 7.21 (d, *J* = 8.1 Hz, 2H), 3.41–3.21 (m, 3H), 2.32–2.00 (m, 7H), 1.86–1.82 (m, 1H), 1.57–1.14 (m, 6H).

cis-2-Phenyl-1-(toluene-4-sulfonyl)-pyrrolidin-3-ol (2p). Yield: 146.0 mg (92%); white solid; mp 155–156 °C; IR (KBr, cm^{-1}) 3512, 2924, 2844, 1334, 1157, 1095, 666, 550; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.75–7.72 (m, 2H), 7.34–7.26 (m, 7H), 4.67–4.66 (m, 1H), 4.15–4.14 (m, 1H), 3.75–3.69 (m, 1H), 3.56–3.47 (m, 1H), 2.22 (s, 3H), 2.08–1.70 (m, 3H); MS (ESI) (*m/z*) 318.2 [M + H^+]; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 143.5, 139.8, 134.5, 129.6, 128.5, 127.7, 127.5, 126.2, 78.8, 71.9, 46.7, 31.2, 21.6; HRMS Calcd for $C_{17}H_{19}NO_3S$: 319.1086, Found: 317.1077; Anal. Calcd for $C_{17}H_{19}NO_3S$: C, 64.33; H, 6.03; N, 4.41. Found: C, 64.61; H, 6.00; N, 4.17.

[1-(Toluene-4-sulfonyl)-pyrrolidin-2-yl]-methanol (2q). Yield: 113.6 mg (89%); colorless oil; IR (KBr, cm^{-1}) 3515, 2951, 1597, 1339, 1158, 1092, 665, 588, 551; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.75 (d, *J* = 8.7 Hz, 2H), 7.34 (d, *J* = 8.7 Hz, 2H), 3.73–3.64 (m, 3H), 3.50–3.43 (m, 1H), 3.31–3.22 (m, 1H), 2.44 (s, 3H), 1.84–1.68 (m, 3H), 1.51–1.24 (m, 2H).

trans-[4-Phenyl-1-(toluene-4-sulfonyl)-pyrrolidin-2-yl]-methanol (2r). Yield: 87.5 mg (53%); colorless oil; IR (KBr, cm^{-1}) 3525, 2924, 2254, 1598, 1496, 1340, 1161, 1026, 909, 816, 666, 550; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.75 (d, *J* = 8.1 Hz, 2H), 7.34 (d, *J* = 8.1 Hz, 2H), 7.26–7.17 (m, 3H), 7.02–7.00 (m, 2H), 3.95–3.89 (m, 1H), 3.84–3.78 (m, 3H), 3.59–3.47 (m, 1H), 3.05–2.98 (m, 1H), 2.81 (s, 1H), 2.45 (s, 3H), 2.19–2.13 (m, 1H), 1.82–1.71 (m, 1H).

cis-[4-Phenyl-1-(toluene-4-sulfonyl)-pyrrolidin-2-yl]-methanol (2rr). Yield: 58.3 mg (35%); colorless oil; IR (KBr, cm^{-1}) 3503, 2923, 1723, 1496, 1341, 1158, 1090, 1029, 700, 664, 549; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.80 (d, *J* = 8.1 Hz, 2H), 7.38 (d, *J* = 8.1 Hz, 2H), 7.30–7.24 (m, 3H), 7.09–7.06 (m, 2H), 3.94–3.72 (m, 4H), 3.41–3.33 (m, 1H), 3.01 (s, 1H), 2.60–2.50 (m, 1H), 2.47 (s, 3H), 2.31–2.22 (m, 1H), 1.95–1.84 (m, 1H).

cis-2-Phenyl-1-(toluene-4-sulfonyl)-piperidin-3-ol (2s). Yield: 150.8 mg (91%); white solid; mp 76–77°C; IR (KBr, cm^{-1}) 3498, 2925, 2867, 1453, 1336, 1157, 1091, 1044, 666, 588; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.80–7.77 (m, 2H), 7.43–7.29 (m, 7H), 4.65 (d, *J* = 8.4 Hz, 1H), 3.89 (s, 1H), 3.84–3.78 (m, 1H), 3.44–3.24 (m, 2H), 2.43 (s, 3H), 1.54–1.18 (m, 4H).

cis-2-Phenyl-1-(toluene-4-sulfonyl)-1, 2, 3, 4-tetrahydro-quinolin-3-ol (2t). Yield: 148.0 mg (78%); white solid; mp 129–130° C; IR (KBr, cm^{-1}) 3511, 2922, 2851, 2252, 1599, 1492, 1342, 1164, 1090, 814, 581. ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.81–7.78 (m, 1H), 7.54–7.51 (m, 2H), 7.30–6.99 (m, 10H), 5.14 (d, *J* = 6.3 Hz, 1H), 3.88–3.87 (m, 1H), 2.59–2.53 (m, 1H), 2.36 (s, 3H), 2.26 (s, 1H), 2.03–1.95 (m, 1H); MS (ESI) (*m/z*) 380.0 [M + H^+]; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 143.8, 141.3, 136.3, 136.1, 129.5, 129.1, 128.9, 128.7, 127.6, 127.5, 127.4, 126.6, 125.6, 124.6, 72.9, 66.2, 34.2, 21.5; HRMS Calcd for $C_{22}H_{21}NO_3S$: 402.1134 [M + Na^+], Found: 402.1134; Anal. Calcd for $C_{22}H_{21}NO_3S$: C, 69.63; H, 5.58; N, 3.69. Found: C, 69.61; H, 5.76; N, 3.84.

[1-(Toluene-4-sulfonyl)-piperidin-2-yl]-methanol (2u). Yield: 118.5 mg (88%); colorless oil; IR (KBr, cm^{-1}) 3522, 2938, 2869, 1738, 1597, 1327, 1158, 1093, 926, 816, 658; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.75 (d, *J* = 8.1 Hz, 2H), 7.30 (d, *J* = 8.1 Hz, 2H), 4.05-4.00 (m, 1H), 3.88-3.79 (m, 2H), 3.60-3.54 (m, 1H), 3.15-3.05 (m, 1H), 2.43 (s, 3H), 2.30 (s, 1H), 1.62-1.33 (m, 6H).

[1-(Toluene-4-sulfonyl)-1, 2, 3, 4-tetrahydro-quinolin-2-yl]-methanol (2v). Yield: 142.8 mg (90%); colorless oil; IR (KBr, cm^{-1}) 3527, 2923, 1722, 1346, 1164, 1091, 760, 662, 578; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.72–7.69 (m, 1H), 7.36–7.13 (m, 6H), 6.98–6.96 (m, 1H), 4.29–4.25 (m, 1H), 3.66–3.56 (m, 2H), 2.44–2.39 (m, 4H), 2.28–2.23 (m, 1H), 2.05–1.92 (m, 1H), 1.48–1.24 (m, 2H); MS (EI) (*m/z*) 317, 286, 156, 155, 139, 111, 91, 65; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 143.8, 135.7, 135.4, 135.2, 129.5, 128.4, 128.2, 127.5, 127.2, 126.4, 65.5, 58.4, 27.2, 25.2, 21.5; HRMS Calcd for $C_{17}H_{19}NO_3S$: 340.0978 [M + Na^+], Found: 340.0988; Anal. Calcd for $C_{17}H_{19}NO_3S$: C, 64.33; H, 6.03; N, 4.41. Found: C, 64.21; H, 5.89; N, 4.67.

N-(2-Oxiranylmethyl-phenyl)-benzamide (3c). Yield: 125.4 mg (99%); white solid; mp 115–116°C; IR (KBr, cm^{-1}) 3327, 3060, 2920, 1672, 1523, 1451, 1308, 1264, 1073, 932, 852, 709; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 9.42 (S, 1H), 8.04–8.01 (m, 3H), 7.53–7.45 (m, 3H), 7.35–7.10 (m, 3H), 3.27–3.22 (m, 2H), 2.91–2.88 (m, 1H), 2.69–2.61 (m, 2H); MS (EI) (*m/z*) 253, 148, 105, 77; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 165.6, 137.3, 134.8, 131.7, 130.8, 128.8, 128.7, 127.9, 127.4, 125.2, 124.5, 53.8, 47.5, 35.5; HRMS Calcd for $C_{16}H_{15}NO_2$: 254.1176 [M + H^+], Found: 254.1184; Anal. Calcd for $C_{16}H_{15}NO_2$: C, 75.87; H, 5.97; N, 5.53. Found: C, 75.69; H, 5.89; N, 5.67.

(2-Oxiranylmethyl-phenyl)-carbamic acid benzyl ester (3d). Yield: 130.3 mg (92%); white solid; mp 88–89°C; IR (KBr, cm^{-1}) 3270, 2922, 2844, 1736, 1454, 1218, 1043, 747; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.85 (s, 1H), 7.44–7.30 (m, 6H), 5.21 (s, 2H), 3.22–3.16 (m, 2H), 2.86–2.84 (m, 1H), 2.68–2.63 (m, 1H), 2.60–2.58 (m, 1H); MS (ESI) (*m/z*) 284.2 [M + H^+]; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 154.2, 145.9, 130.6, 128.5, 128.2, 128.1, 128.0, 124.3, 119.6, 119.1, 111.3, 66.8, 63.2, 47.2, 35.3; HRMS Calcd for $C_{17}H_{17}NO_3$ 306.1101, Found: 306.1120; Anal. Calcd for $C_{17}H_{17}NO_3$: C, 72.07; H, 6.05; N, 4.94. Found: C, 72.21; H, 5.89; N, 4.67.

4-Methyl-N-oxiranylmethyl-benzenesulfonamide (3l). Yield: 109.1 mg (96%); white solid; mp 66–67°C; IR(KBr, cm^{-1}) 3304, 2925, 2868, 1598, 1441, 1339, 1168, 1094, 914, 851, 664; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.68 (d, *J* = 8.1 Hz, 2H), 7.23 (d, *J* = 8.1 Hz, 2H), 5.59 (t, *J* = 6 Hz, 1H), 3.24–3.16 (m, 1H), 3.02–2.93 (m, 2H), 2.68–2.65 (m, 1H), 2.55–2.53 (m, 1H), 2.34 (s, 3H).

4-Methyl-N-(2-oxiranyl-ethyl)-benzenesulfonamide (3m). Yield: 117.0 mg (97%); white solid; mp 86–87°C; IR (KBr, cm^{-1}) 3227, 2925, 2874, 1597, 1491, 1441, 1328, 1157, 1094, 900, 733, 550; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.72 (d, *J* = 7.8 Hz, 2H), 7.27 (d, *J* = 7.8 Hz, 2H), 5.27 (s, 1H), 3.06–3.04 (m, 2H), 2.90–2.69 (m, 2H), 2.42–2.39 (m, 4H), 1.89–1.52 (m, 2H).

4-Methyl-N-(1-oxiranylmethyl-cyclohexyl)-benzenesulfonamide (3n). Yield: 145.4 mg (94%); white solid; mp 146–147°C; IR (KBr, cm^{-1}) 3283, 2935, 2862, 1451, 1332, 1152, 1094, 998, 815, 667; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.78 (d, *J* = 8.1 Hz, 2H), 7.25 (d, *J* = 8.1 Hz, 2H), 5.13 (s, 1H), 3.03-3.00 (m, 1H), 2.70–2.67 (m, 1H), 2.42–2.39 (m, 4H), 2.22–2.16 (m, 1H), 1.83–1.74 (m, 2H), 1.55–1.23 (9H).

Preparation of 3p, 3u, and 3v. To a mixture of aminoalkenes (**1p**, **1u**, and **1v**, 0.5 mmol) in CH_2Cl_2 (10 mL), *m*-CPBA (0.6 mmol) was added slowly. The reaction was stirred at room for 4 h. Then saturated $Na_2S_2O_3$ (1 mL) and $NaHCO_3$ (1 mL) were added to quench the reaction, respectively. The resulting mixture was extracted with CH_2Cl_2 (3 × 5 mL). And the combined organic phases were washed with brine, dried over anhydrous Na_2SO_4, and concentrated under reduced pressure to give a residue. Finally, the crude product was purified through column chromatography on silica gel (200–300 mesh) with hexane/EtOAc as eluent to afford **3p**, **3u**, and **3v** in corresponding yields.

4-Methyl-N-[2-(3-phenyl-oxiranyl)-ethyl]-benzenesulfonamide (3p). Yield: 30.2 mg (19%); white solid; mp 78–79°C; IR (KBr, cm^{-1}) 3284, 2978, 2926, 1453, 1323, 1160, 1093, 702, 663, 551; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.71–7.68 (m, 2H), 7.34–7.21 (m, 7H), 4.97–4.93 (m, 1H), 4.06–4.05 (m, 1H), 3.25–3.19 (m, 1H), 3.13–3.95 (m, 2H), 2.41 (s, 3H), 1.57–1.35 (m, 2H); MS (ESI) (*m/z*) 318.2 [M + H$^+$]; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 143.4, 136.7, 134.8, 129.8, 128.2, 127.8, 127.1, 126.4, 57.2, 57.0, 40.7, 26.9, 21.6; HRMS Calcd for $C_{17}H_{19}NO_3S$: 317.1086. Found: 317.1086; Anal. Calcd for $C_{17}H_{19}NO_3S$: C, 64.33; H, 6.03; N, 4.41. Found: C, 64.64; H, 5.89; N, 4.38.

4-Methyl-N-(4-oxiranyl-butyl)-benzenesulfonamide (3u). Yield: 20.2 mg (15%); white solid; mp 77–78°C; IR (KBr, cm^{-1}) 3285, 2932, 2864, 1598, 1457, 1322, 1160, 1093, 915, 816, 661, 551; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.71 (d, *J* = 8.1 Hz, 2H), 7.26 (d, *J* = 8.1 Hz, 2H), 5.21 (s, 1H), 2.88–2.80 (m, 3H), 2.68–2.65 (m, 1H), 2.38–2.37 (m, 4H), 1.47–1.41 (m, 6H); MS (ESI) (*m/z*) 252.2 [M-H_2O + H$^+$]; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 143.3, 137.0, 129.7, 127.0, 52.1, 47.0, 43.0, 31.8, 29.2, 23.0, 21.5; HRMS Calcd for $C_{13}H_{19}NO_3S$: 251.0980 [M-H_2O], Found: 251.0980; Anal. Calcd for $C_{13}H_{19}NO_3S$: C, 57.97; H, 7.11; N, 5.20. Found: C, 57.77; H, 7.03; N, 5.38.

4-Methyl-N-[2-(2-oxiranyl-ethyl)-phenyl]-benzenesulfonamide (3v). Yield: 23.8 mg (15%); colorless oil; IR (KBr, cm^{-1}) 3274, 3063, 2990, 2923, 1598, 1494, 1332, 1160, 1092, 917, 664, 567; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.63–7.61 (m, 2H), 7.26–7.10 (m, 6H), 2.85–2.82 (m, 1H), 2.75–2.72 (m,1H), 2.54–2.43 (m, 3H), 2.37 (s, 3H), 1.78–1.47 (m, 2H); MS (EI) (*m/z*) 317, 286, 156, 155, 139, 130, 111, 91, 43; ^{13}C-NMR (75 MHz, $CDCl_3$) δ 143.7, 136.9, 135.4, 134.2, 129.9, 129.6, 127.2, 127.1, 126.5, 125.3, 51.6, 47.7, 32.6, 26.9, 21.5; HRMS Calcd for $C_{17}H_{19}NO_3S$: 340.0978 [M + Na$^+$], Found: 340.0987; Anal. Calcd for $C_{17}H_{19}NO_3S$: C, 64.33; H, 6.03; N, 4.41. Found: C, 64.08; H, 6.29; N, 4.62.

Procedure for the conversation of 3l and **3n** to **2l** and **2n**. The mixture of epoxides (**3l** or **3n**, 0.75 mmol) in 5% NaOH (10 mL) was stirred under refluxing temperature. After the starting material was completely converted monitored by TLC, the reaction mixture was cooled to room temperature and extracted with ethyl ether (3 × 20 mL). The combined organic phases were washed with brine, dried over anhydrous Na_2SO_4, concentrated under reduced pressure, and purified through column chromatography with hexane/EtOAc as eluent to give targeted product as a white solid.

[1-(Toluene-4-sulfonyl)-aziridin-2-yl]-methanol (2l). Yield: 161.9 mg (95%); white solid; mp 220–221°C; IR (KBr, cm^{-1}) 3502, 2924, 1738, 1338, 1158, 1089, 547; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.70 (d, *J* = 8.1 Hz, 2H), 7.36 (d, *J* = 8.1 Hz, 2H), 4.29–4.21 (m, 1H), 3.51–3.59 (m, 5H), 2.46 (s, 3H).

[1-(Toluene-4-sulfonyl)-1-aza-spiro [3.5] non-2-yl]-methanol (2n). Yield: 218.1 mg (94%); white solid; mp 101–102°C (Lit.[4b] mp 102°C); IR (KBr, cm^{-1}) 3506, 2926, 2858, 2252, 1598, 1495, 1449, 1152, 816, 729; ^{1}H-NMR (300 MHz, $CDCl_3$) δ = 7.75 (d, *J* = 7.8 Hz, 2H), 7.31 (d, *J* = 7.8 Hz, 2H), 4.16–4.08 (m, 1H), 3.74 (d, *J* = 4.2 Hz, 2H), 3.21 (s, 1H), 2.44 (s, 3H), 1.96–1.10 (m, 12H).

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